

10/501855

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
21 August 2003 (21.08.2003)

PCT

(10) International Publication Number
WO 2003/068148 A3

(51) International Patent Classification⁷: **A61K 31/496, C07D 487/04**

(21) International Application Number: **PCT/US2003/001845**

(22) International Filing Date: 21 January 2003 (21.01.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/349,912 18 January 2002 (18.01.2002) US
60/357,320 15 February 2002 (15.02.2002) US

(71) Applicant: **HYPNION, INC. [US/US]**; Five-Biotech, 381 Plantation Street, Worcester, MA 01605 (US).

(72) Inventors: **HANGAUER, David, G.**; 8431 Hidden Oaks Drive, East Amherst, NY 14051 (US). **LEIGHTON, Harry, Jefferson**; 25 Brook Street, Brookline, MA 02445 (US). **EDGAR, Dale, M.**; 15 Grove Street, Wayland, MA 01778 (US).

(74) Agents: **BROOK, David E. et al.**; Hamilton, Brook, Smith & Reynolds, P.C., 530 Virginia Road, P.O. Box 9133, Concord, MA 01742-9133 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(88) Date of publication of the international search report:
1 April 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2003/068148 A3

(54) Title: TREATMENT OF SLEEP DISORDERS USING SLEEP TARGET MODULATORS

(57) Abstract: The invention is directed to compositions used for treating sleep disorders. In addition, the invention provides convenient methods of treatment of a sleep disorder. Furthermore, the invention provides methods of treating sleep disorders using compositions that remain active for a discrete period of time to reduce side effects. More specifically, the invention is directed to the compositions and use of ester derivatized trazodone compounds for the treatment of sleep disorders.

Rec'd PCT/PTO 10 JUL 2004

PATENT COOPERATION TREATY 10/501855

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference HPZ-010PC	FOR FURTHER ACTION	see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.
International application No. PCT/US03/01845	International filing date (day/month/year) 21 January 2003 (21.01.2003)	(Earliest) Priority Date (day/month/year) 18 January 2002 (18.01.2002)
Applicant HYPNION, INC.		

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 4 sheets.



It is also accompanied by a copy of each prior art document cited in this report.

1. **Basis of the Report**
 - a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
 the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).
 - b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing:
 contained in the international application in written form.
 filed together with the international application in computer readable form.
 furnished subsequently to this Authority in written form.
 furnished subsequently to this Authority in computer readable form.
 the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
 the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.
2. Certain claims were found unsearchable (See Box I).
3. Unity of invention is lacking (See Box II).
4. With regard to the title,
 - the text is approved as submitted by the applicant.
 - the text has been established by this Authority to read as follows:
5. With regard to the abstract,
 - the text is approved as submitted by the applicant.
 - the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.
6. The figure of the drawings to be published with the abstract is Figure No. _____
 as suggested by the applicant.
 because the applicant failed to suggest a figure.
 because this figure better characterizes the invention.

None of the figures

INTERNATIONAL SEARCH REPORT

Internal application No.

PCT/US03/01845

Box I Observations where certain claims were found unsearchable (Continuation of Item 1 of first sheet)

This international report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claim Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. Claim Nos.: 1-63,65-69, 89-107, 122-128 (all in part)
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
Please See Continuation Sheet

3. Claim Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of Item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:

4. No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

The additional search fees were accompanied by the applicant's protest.
No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/01845

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : A61K 31/496; C07D 487/04
 US CL : 514/253.04; 544/362

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
 U.S. : 514/253.04; 544/362

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)
 CAS ONLINE STRUCTURE SEARCH

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5,116,852 A (GAMMANS) 26 May 1992.	1-128

Further documents are listed in the continuation of Box C.

See patent family annex.

* Special categories of cited documents:	"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A" document defining the general state of the art which is not considered to be of particular relevance	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E" earlier application or patent published on or after the international filing date	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&"	document member of the same patent family
"O" document referring to an oral disclosure, use, exhibition or other means		
"P" document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search

08 October 2003 (08.10.2003)

Date of mailing of the international search report

14 JAN 2004

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
 Commissioner for Patents
 P.O. Box 1450
 Alexandria, Virginia 22313-1450

Facsimile No. (703)305-3230

Authorized officer

Emily Bernhardt

Telephone No. (703) 308-1235

Form PCT/ISA/210 (second sheet) (July 1998)

BEST AVAILABLE COPY

INTERNATIONAL SEARCH REPORT

PCT/US03/01845

Continuation of Box I Reason 2:

The present application fails to comply with the clarity, conciseness, support and disclosure requirements of PCT Articles 5 and 6 to such an extent that a meaningful search for the full scope of the claims is impossible. Consequently the search has been carried out for compounds specifically described in Table 2 of the description, namely esterified trazodone derivatives and particularly claimed in claims 64, 70-88 and 108-121.